EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	988	548/540.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2008/01/18 14:10
L2	2446	514/423.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2008/01/18 14:10
L3	140	l1 and l2	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2008/01/18 14:16

1/18/2008 2:45:06 PM Page 1

STN Structure Search
Registry | Caplus
10/565,294

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
NEWS
        AUG 06
                 CAS REGISTRY enhanced with new experimental property tags
     3 AUG 06
                 FSTA enhanced with new thesaurus edition
NEWS
     4 AUG 13
                 CA/CAplus enhanced with additional kind codes for granted
NEWS
                 patents
        AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS
NEWS
      6 AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
                 USPATOLD now available on STN
         AUG 27
NEWS
                 CAS REGISTRY enhanced with additional experimental
        AUG 28
NEWS
                 spectral property data
NEWS
         SEP 07
                 STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
NEWS 10
         SEP 13
                 FORIS renamed to SOFIS
                 INPADOCDB enhanced with monthly SDI frequency
         SEP 13
NEWS 11
                 CA/CAplus enhanced with printed CA page images from
         SEP 17
NEWS 12
                 1967-1998
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NEWS 13
         SEP 17
                 patents
NEWS 14
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NEWS 15
         OCT 02
                 Zentralblatt
         OCT 19
                 BEILSTEIN updated with new compounds
NEWS 16
         NOV 15
                 Derwent Indian patent publication number format enhanced
NEWS 17
                WPIX enhanced with XML display format
NEWS 18 NOV 19
NEWS 19 NOV 30
                ICSD reloaded with enhancements
NEWS 20 DEC 04
                LINPADOCDB now available on STN
NEWS 21 DEC 14
                 BEILSTEIN pricing structure to change
                 USPATOLD added to additional database clusters
NEWS 22 DEC 17
NEWS 23 DEC 17
                 IMSDRUGCONF removed from database clusters and STN
NEWS 24
         DEC 17
                 DGENE now includes more than 10 million sequences
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
         DEC 17
NEWS 25
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
         DEC 17
NEWS 26
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 27
         DEC 17
         DEC 17
                 STN Viewer enhanced with full-text patent content
NEWS 28
                 from USPATOLD
                 STN pricing information for 2008 now available
NEWS 29
         JAN 02
                 CAS patent coverage enhanced to include exemplified
NEWS 30
         JAN 16
                 prophetic substances
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NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

10/565,294 01/18/2008

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=> fil req

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FULL ESTIMATED COST

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17 JAN 2008 HIGHEST RN 1000264-70-9 STRUCTURE FILE UPDATES: DICTIONARY FILE UPDATES: 17 JAN 2008 HIGHEST RN 1000264-70-9

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7 14 15 16 17 18 19 25 26 27 28
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 20 21 22 23 24
chain bonds :
1-14 4-7 7-8 7-16 11-15 16-17 16-18 18-19 18-20 22-27 22-28 24-25 24-26

ring bonds :
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21-22 22-23 23-24
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exact bonds :
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normalized bonds :
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:05:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED

9 ITERATIONS

202 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

9 TO 360

PROJECTED ANSWERS:

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L2

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FULL SCREEN SEARCH COMPLETED - 202 TO ITERATE

3 ANSWERS

100.0% PROCESSED SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> fil caplus

10/565,294 01/18/2008

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 178.36 178.57

FULL ESTIMATED COST

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4 L3

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L4

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(Continued)

THERE ARE 14 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

REFERENCE COUNT:

FORMAT

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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:945768 CAPLUS
DOCUMENT NUMBER: 145:328394
Roflumilast for the treatment of diabetes mellitus
Riventor(s): Kley, Hans-Peter; Hanauer, Guido; Hauser, Daniele;
Schmidt, Beate; Bredenbroeker, Dirk; Wurst, Wilhelm;
Kemkowski, Joerg
PATENT ASSIGNEE(S): Atlane Pharma AG, Germany
SOURCE: PTINTO CODEN: PTINTO CODEN: PTINTO PPACE
DOCUMENT TYPE: Patent
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                      Patent
English
                                 PATENT NO.
                                                                        KIND
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                                                                                                                                                                                               DATE
              WO 2006094942
                                                                                                                            EP 2005-101780
 PRIORITY APPLN. INFO.:
             The invention discloses the use of Roflumilast and/or Roflumilast-N-Oxide for the treatment of diabetes mellitus and accompanying disorders
              Tot the transmin --
sof.

The invention addnl. discloses combinations of Roflumilast and/or
Roflumilast-N-Oxide with other active agents for the treatment of
            Rofiumilast-N-Oxide with other active agents for the treatment of etes mellitus.
483369-58-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Blological study); USES (Uses)
(Rofiumilast for treatment of diabetes mellitus and accompanying disorders, and combinations with other agents)
483369-58-0 CAPLUS
2-Pyrrolidinecarbonitrile, 1-[(2S)-2-amino-3,3-bis(4-fluorophenyl)-1-oxopropyl)-4-fluoro-, (2S,43)- (CA INDEX NAME)
```

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
2-Pyrrolidinecarbonitrile, 1-[(28)-2-amino-3,3-bis(4-fluorophenyl)-1oxopropyl]-4-fluoro-, (25,48)- (CA INDEX NAME) Absolute stereochemistry

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

REFERENCE COUNT: THERE ARE 13 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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accompanying disorders, and combinations with other
                                                                                                             accompanying disorders, and combinations with other agents agents Kley, Hans-Peter; Hanauer, Guido; Hauser, Daniela; Schmidt, Beate; Bradenbroeker, Dirk; Wurst, Wilhelm; Kemkowski, Joerg Altana Pharma AG, Germany PCT Int. Appl., 71pp. CODEN: PIXXD2
INVENTOR(S):
 PATENT ASSIGNEE(S):
SOURCE:
 DOCUMENT TYPE:
                                                                                                               Patent
                                                                                                              English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
               PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2006094933 A1 20060914 WO 2006-EP60418 20060303

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, 1S, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, KM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TM, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: ATT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CH, KCK, KZ, MD, RU, TJ, TM

AU 2006222060 A1 20060914 AU 2006-22960 2066303

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS74308 A1 20060919 EP 2006-708617 20060303

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS74308 A1 20080109 EP 2006-708617 20060303

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NO 2007004943 A 20071001 NO 2007-4943 20071001
                                                                                                                                                                                                NO 2007-4943
KR 2007-722434
IN 2007-MN1586
EP 2005-101772
NO 2007004943

KR 2007111539

IN 2007MN01586

PRIORITY APPLN. INFO.:
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                                                                                                                                                                                                                                                                                        20071003
A 20050308
                                                                                                                                                                                                                                                                                        w 20060303
                                                                                                                                                                                                 WO 2006-EP60418
AB The invention relates to the use of Roflumilast and/or Roflumilast-N-Oxide for the treatment of diabetes mellitus and accompanying disorders thereof.
```

Roflumilast for the treatment of diabetes mellitus

sof.
The invention addnl. relates to combinations of Roflumilast and/or
Roflumilast-N-Oxide with other active agents for the treatment of

Absolute stereochemistry.

TITLE:

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:944442 CAPLUS DOCUMENT NUMBER: 145:328392

Roflumilast-N-Oxide wash. ...

Roflumilast-N-Oxide wash. ...

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Roflumilast for the state of diabetes mellitus and accompanying diaceders, and combinations with other agents)

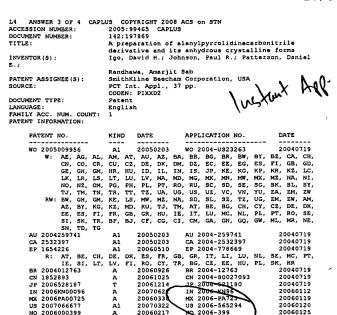
Roflumilast for treatment of diabetes mellitus and accompanying diaceders, and combinations with other agents)

Roflumilast for treatment of diabetes mellitus and accompanying diaceders, and combinations with other agents)

RN 483369-38-0 CAPLUS

Searched by

Searched by Jason M. Nolan, Ph.D.



20060217

3-488902P

WO 2004-US23263

W 20040719

US 2007066677 NO 2006000399

PRIORITY APPLN. INFO.:

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L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN . (Continued)

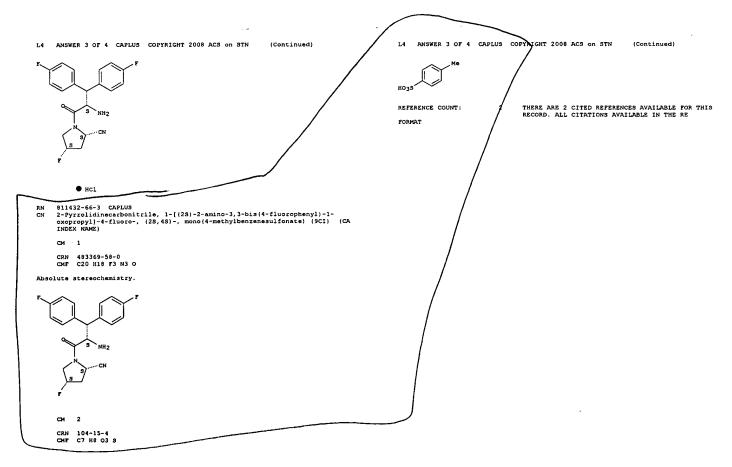
AB The invention relates to a preparation of alanylpyrrolidinecarbonitrile derivative

I and its anhydrous crystalline forms, useful as serine proteases inhibitors. For instance, I=p-TaOH (pKi > 5.0) was prepared via amidation of N-[(1,1-dimethylethyl)ay(parbonyl)-4-fluoro-β-(4-fluorophenyl)-L-phenylalanine by (28,48)-4-fluoropyrrolidine-2-carbonitrile p-toluenesulfonic acid.

IT 483367-65-3P 811432-66-3P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation) USES (Uses) (preparation) alanylpyrrolidinecarbonitrile derivative and its anhydrous crystalline serine protease inhibitor)

RN 483367-65-3 CAPLUS (RN 2-2-amino-3,3-bis(4-fluorophenyl)-1-403367-63-3 CAPLOS 2-Pyrrolidinecarbonitrile, 1-[(2S)-2-amino-3,3-bis(4-fluorophenyl)-1-oxopropyl]-4-fluoro-, monohydrochloride, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:90071
171TLE:
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1800071
PREPARET ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
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    FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                PATENT NO.
                                                                                                                                                                                                                                                                                       DATE
                                                                                                                                                                                                                                                                                                                                                                                                   APPLICATION NO.
                                                WO 2003002531
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    20020626
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JP 2006-177193 JP 2006-297713 US 2001-301333P

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L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
US 2002-376015P P 20020426 CN 2002-812736 A3 20020626 EP 2002-756329

OTHER SOURCE(S): MARPAT 138:90071

Novel compds. I (X = H or F; R1, R2 = (un)substituted (hetero)aryl; R3 =

or alkyl; or R1, R2 = H, alkyl or CR1R2 is a ring system; R3 = $(CH2)0-5-Y-\{CH2\}0-12-R4$, where Y = S(0)0-2, O, alk $\{en\}\{yn\}$ ylene or a

R4 = (un)substituted (cyclo)alkyl, (hetero)aryl, etc.; for Y = SO or SO2, R4 may also be an amino group or hydroxy) were prepared for inhibiting serime proteases such as dispettidyl peptidases (e.g., DPP-IV). Thus, (23,43)-1-(23)-2-amino-3,3-bis(4-fluorophenyl)propanoyl)-4-fluoroprolidine-2-carbonitrile hydrochloride, prepared via acylation of (23,43)-4-fluoro-2-pyrrolidinecarbonitrile tosylate, showed ICSO = 22 nM for inhibition of human DPP-IV (vs. 151 nM for the analog which is not fluorinated at the pyrrolidine ring).
483367-65-39 483369-58-09
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Blological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of fluoropyrrolidinecarbonitrile derivs. as dipeptidyl peptidase inhibitors)
483367-65-3 CAPIUS
2-Pyrrolidinecarbonitrile, 1-[(2S)-2-amino-3,3-bis(4-fluorophenyl)-1-cxopropyl]-4-fluoro-, monohydrochloride, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● HC1

483369-58-0 CAPLUS 2-Pyrrolidinecarbonitrile, 1-[(25)-2-amino-3,3-bis(4-fluorophenyl)-1-oxopropyl)-4-fluoro-, (23,45)- (CA INDEX NAME)

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:09:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -1 TO ITERATE

100.0% PROCESSED

1 ITERATIONS

O ANSWERS

SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

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PROJECTED ITERATIONS:

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PROJECTED ANSWERS:

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L2

0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 13:09:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

58 TO ITERATE

100.0% PROCESSED

58 ITERATIONS

SEARCH TIME: 00.00.01

3 SEA SSS FUL L1

=>

L3

Searched by Jason M. Nolan, Ph.D.

3 ANSWERS

Same as before